10674488

=> d his

(FILE 'HOME' ENTERED AT 15:38:04 ON 20 MAY 2004)

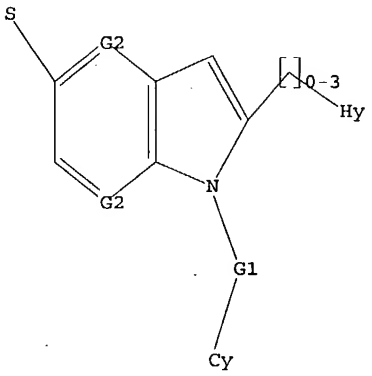
FILE 'REGISTRY' ENTERED AT 15:38:29 ON 20 MAY 2004
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 STRUCTURE UPLOADED
L4 1 S L3
L5 76 S L3 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:41:05 ON 20 MAY 2004
L6 4 S L5

FILE 'MARPAT' ENTERED AT 15:42:28 ON 20 MAY 2004
L7 2 S L5
L8 78 S L5 SSS FULL
L9 76 S L8/COMPLETE
L10 74 S L9 NOT L6
L11 0 S L10 AND CYCLOOXYGENASE?
L12 0 S L10 AND COX

FILE 'CAPLUS' ENTERED AT 15:45:52 ON 20 MAY 2004
L13 74 S L10
L14 1 S L13 AND CYCLOOXYGENASE
L15 1 S L13 AND COX
L16 1 S L15 NOT L14
L17 12795 S PYRROLO?
L18 4 S L13 AND L17

=> d l3
L3 HAS NO ANSWERS
L3 STR



G1 C,S
G2 C,N

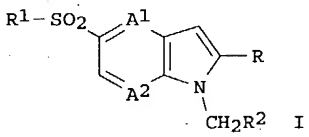
10674488

=> d 1-4 bib abs hitstr

L6 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:316965 CAPLUS
DN 132:334446
TI Preparation of amide group-containing indoles and mono- or diazaindoles as cyclooxygenase-2 inhibitors and anti-inflammatory agents
IN Matsuoka, Koji; Takahashi, Tadakatsu; Maruyama, Tensho; Ishizawa, Takenobu; Kato, Yasuharu
PA Chugai Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000136182	A2	20000516	JP 1998-310209	19981030

PRAI JP 1998-310209 19981030
OS MARPAT 132:334446
GI

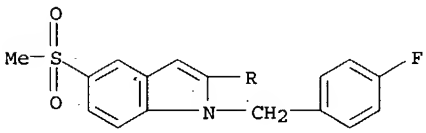


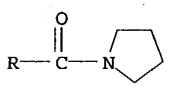
I

AB The compds. I [A1, A2 = CH, N; R = C:QNYZ, CO2R3; R1 = alkyl, amino; R2 = (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; Q = O, S, N:CN; Y, Z = H, (un)substituted alkyl, (un)substituted alkoxy, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heterocyclyl; YNZ may form (un)substituted ring (having addnl. O, N, and/or S)], their pharmacol. acceptable salts, or their hydrates are prepared Me 1-benzenesulfonyl-5-methylthio-1H-pyrrolo[2,3-b]pyridine-2-carboxylate was oxidized, treated with 4-fluorobenzyl bromide, and amidated with NMeH2 to give I (A1 = CH, A2 = N; R = CONHMe, R1 = Me, R2 4-FC6H4), which inhibited human cyclooxygenase-1 and 2 with IC50 of >20 and 0.4 μM, resp.

IT **268212-01-7P 268212-02-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indoles as cyclooxygenase-2 inhibitors and anti-inflammatory agents)

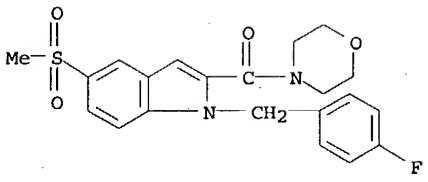
RN 268212-01-7 CAPLUS
CN Pyrrolidine, 1-[[1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)





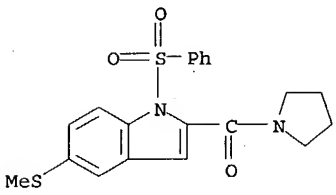
RN 268212-02-8 CAPLUS
CN Morpholine, 4-[[1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

10674488

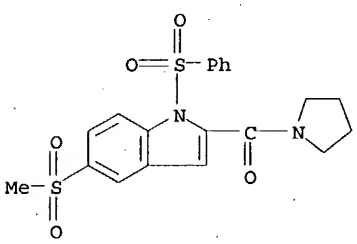


IT **268212-27-7P 268212-28-8P 268212-30-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indoles as cyclooxygenase-2 inhibitors and anti-inflammatory agents)

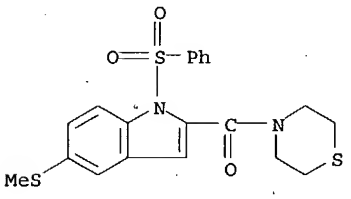
RN 268212-27-7 CAPLUS
CN Pyrrolidine, 1-[[5-(methylthio)-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 268212-28-8 CAPLUS
CN Pyrrolidine, 1-[[5-(methylsulfonyl)-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 268212-30-2 CAPLUS
CN Thiomorpholine, 4-[[5-(methylthio)-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



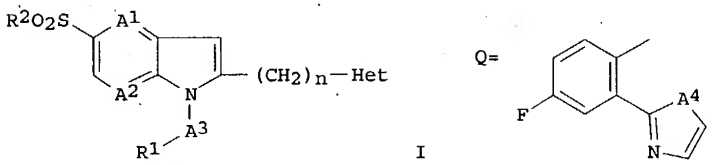
L6 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:764033 CAPLUS
DN 132:12319
TI Preparation of heterocyclic indole derivatives and mono- or diazaindole derivatives as cyclooxygenase-2 (COX-2) inhibitors
IN Matsuoka, Hiroharu; Kato, Nobuaki; Takahashi, Tadakatsu; Maruyama, Noriaki; Ishizawa, Takenori; Suzuki, Yukio
PA Chugai Seiyaku Kabushiki Kaisha, Japan
SO PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DT Patent

10674488

LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9961436	A1	19991202	WO 1999-JP2718	19990525
	W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9938511	A1	19991213	AU 1999-38511	19990525
	EP 1086950	A1	20010328	EP 1999-921245	19990525
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6673797	B1	20040106	US 2000-701188	20001127
	US 2004067964	A1	20040408	US 2003-674488	20031001
PRAI	JP 1998-143957	A	19980526		
	JP 1998-323553	A	19981113		
	WO 1999-JP2718	W	19990525		
	US 2000-701188	A3	20001127		

OS MARPAT 132:12319
GI

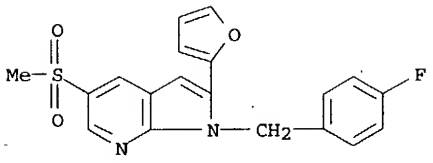


I

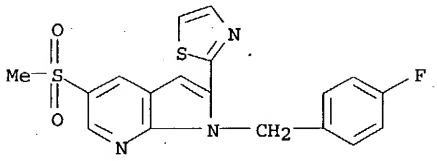
AB Indole derivs. and mono- or diazaindole derivs. represented by general formula (I; wherein Het represents an optionally substituted heterocycle; A1 and A2 independently represent each CH or N; A3 represents CH2, CO, or SO2; R1 represents 4-fluorophenyl, 5-methyl-4H-1,2,4-triazol-3-yl, 5-methylpyridin-2-yl, 4-methylpiperazin-1-yl, cyclohexyl, pyridin-2-yl, 3,4-dichlorophenyl, 2,4-difluorophenyl, or Q; wherein A4 = O, S, or NH; R2 represents linear or branched C1-3 alkyl; and n is 0, 1 or 2, provided that when A1 and A2 are both CH, then A3 is CH2 or SO2), pharmaceutically acceptable acid-addition salts or base-addition salts thereof or hydrates of the same, which have a COX-2 inhibitory activity and are useful as drugs such as anti-inflammatory agents, are prepared Thus, 2-(2-furyl)-5-(methanesulfonyl)-1H-pyrrolo[2,3-b]pyridine (preparation given) was stirred with NaH in DMF at 0° for 30 min and then stirred with 4-fluorobenzyl bromide for 1 h to give the title compound (II). II showed IC50 of 0.15 and >20 μM against COX-2 and COX-1, resp.

IT **251548-34-2P 251548-35-3P 251548-36-4P**
251548-37-5P 251548-38-6P 251548-39-7P
251548-40-0P 251548-41-1P 251548-42-2P
251548-43-3P 251548-44-4P 251548-45-5P
251548-46-6P 251548-47-7P 251548-48-8P
251548-49-9P 251548-50-2P 251548-51-3P
251548-52-4P 251548-53-5P 251548-54-6P
251548-55-7P 251548-57-9P 251548-58-0P
251548-59-1P 251548-60-4P 251548-61-5P
251548-62-6P 251548-63-7P 251548-64-8P
251548-65-9P 251548-66-0P 251548-67-1P
251548-68-2P 251548-69-3P 251548-70-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic indole derivs. and mono- or diazaindole derivs. as cyclooxygenase-2 (COX-2) inhibitors and anti-inflammatory agents)

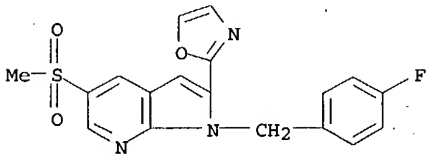
RN 251548-34-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(4-fluorophenyl)methyl]-2-(2-furanyl)-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)



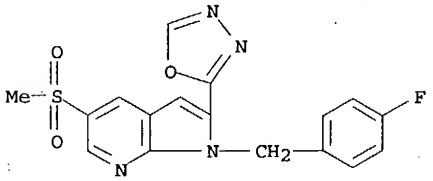
RN 251548-35-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-2-(2-thiazolyl)- (9CI) (CA INDEX NAME)



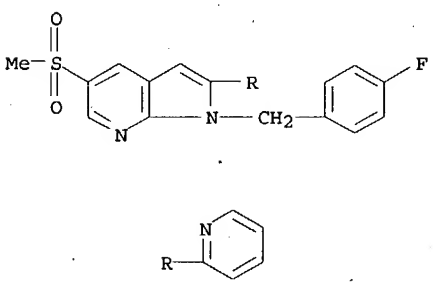
RN 251548-36-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-2-(2-oxazolyl)- (9CI) (CA INDEX NAME)



RN 251548-37-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-2-(1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)

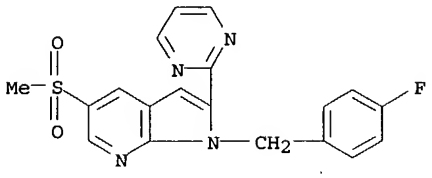


RN 251548-38-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)

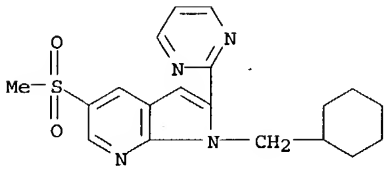


RN 251548-39-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-2-

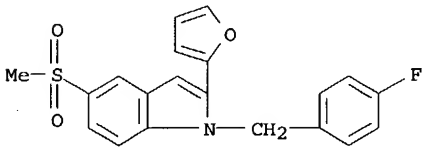
(2-pyrimidinyl)- (9CI) (CA INDEX NAME)



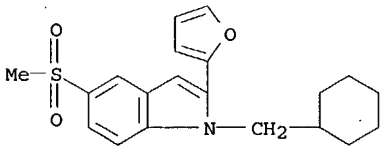
RN 251548-40-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-(cyclohexylmethyl)-5-(methylsulfonyl)-2-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)



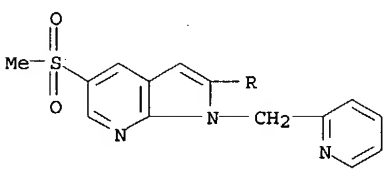
RN 251548-41-1 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)methyl]-2-(2-furanyl)-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

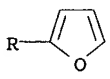


RN 251548-42-2 CAPLUS
CN 1H-Indole, 1-(cyclohexylmethyl)-2-(2-furanyl)-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

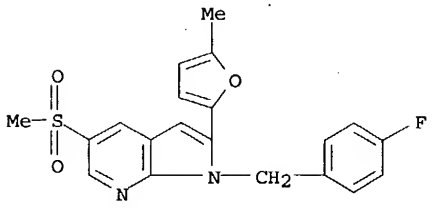


RN 251548-43-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2-furanyl)-5-(methylsulfonyl)-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

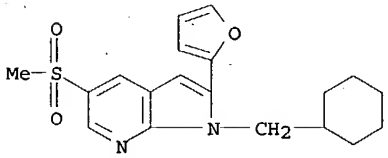




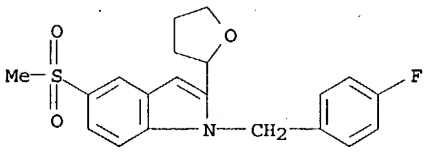
RN 251548-44-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(4-fluorophenyl)methyl]-2-(5-methyl-2-furanyl)-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)



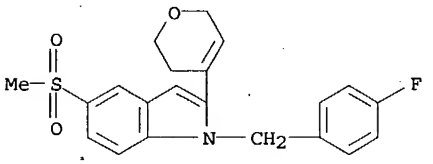
RN 251548-45-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-(cyclohexylmethyl)-2-(2-furanyl)-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)



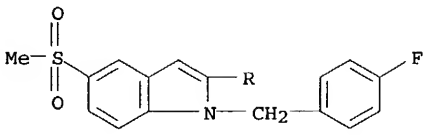
RN 251548-46-6 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-2-(tetrahydro-2-furanyl)- (9CI) (CA INDEX NAME)

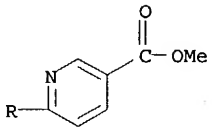


RN 251548-47-7 CAPLUS
CN 1H-Indole, 2-(3,6-dihydro-2H-pyran-4-yl)-1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

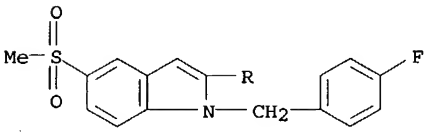


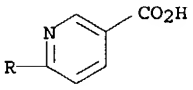
RN 251548-48-8 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-[1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-1H-indol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



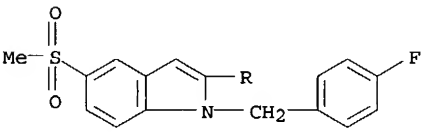


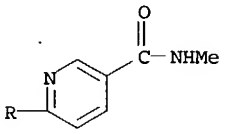
RN 251548-49-9 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-[1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)



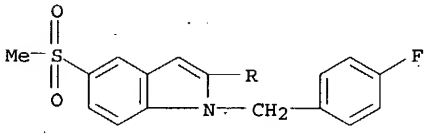


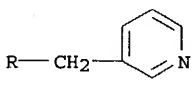
RN 251548-50-2 CAPLUS
CN 3-Pyridinecarboxamide, 6-[1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-1H-indol-2-yl]-N-methyl- (9CI) (CA INDEX NAME)



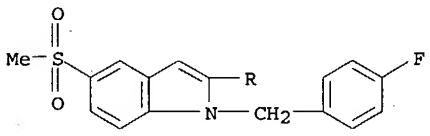


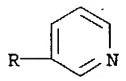
RN 251548-51-3 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-2-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



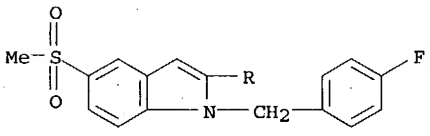


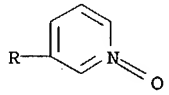
RN 251548-52-4 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-2-(3-pyridinyl)-
(9CI) (CA INDEX NAME)



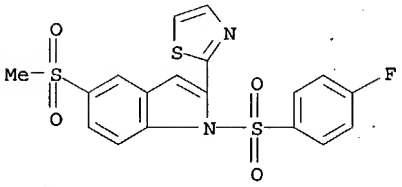


RN 251548-53-5 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-2-(1-oxido-3-
pyridinyl)- (9CI) (CA INDEX NAME)

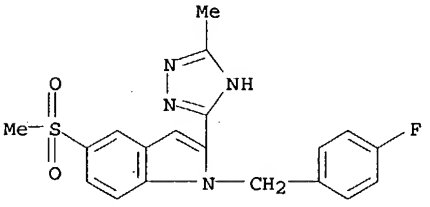




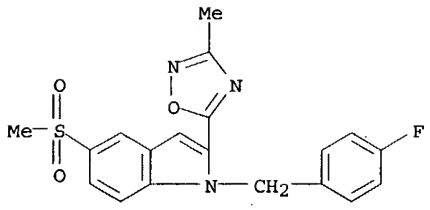
RN 251548-54-6 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-5-(methylsulfonyl)-2-(2-thiazolyl)-
(9CI) (CA INDEX NAME)



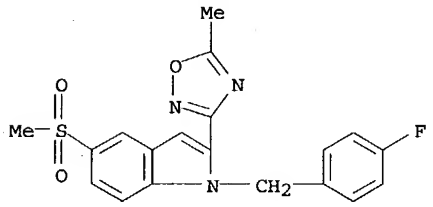
RN 251548-55-7 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-2-(5-methyl-1H-
1,2,4-triazol-3-yl)- (9CI) (CA INDEX NAME)



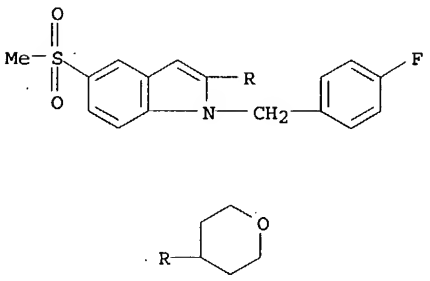
RN 251548-57-9 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)methyl]-2-(3-methyl-1,2,4-oxadiazol-5-yl)-5-
(methylsulfonyl)- (9CI) (CA INDEX NAME)



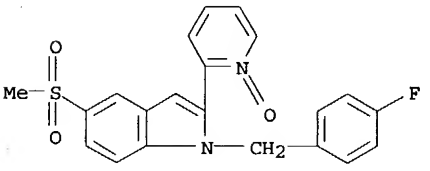
RN 251548-58-0 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)methyl]-2-(5-methyl-1,2,4-oxadiazol-3-yl)-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)



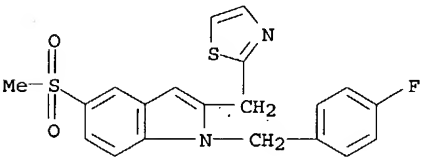
RN 251548-59-1 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-2-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



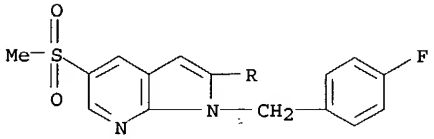
RN 251548-60-4 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-2-(1-oxido-2-pyridinyl)- (9CI) (CA INDEX NAME)

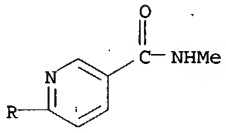


RN 251548-61-5 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-2-(2-thiazolylmethyl)- (9CI) (CA INDEX NAME)

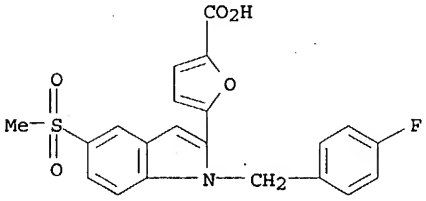


RN 251548-62-6 CAPLUS
CN 3-Pyridinecarboxamide, 6-[1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-N-methyl- (9CI) (CA INDEX NAME)

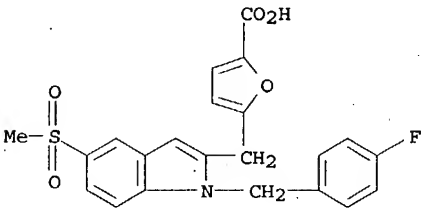




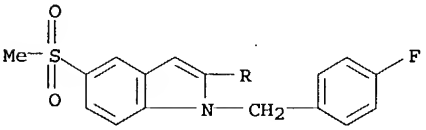
RN 251548-63-7 CAPLUS
CN 2-Furancarboxylic acid, 5-[1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)

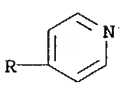


RN 251548-64-8 CAPLUS
CN 2-Furancarboxylic acid, 5-[[1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-1H-indol-2-yl]methyl]- (9CI) (CA INDEX NAME)



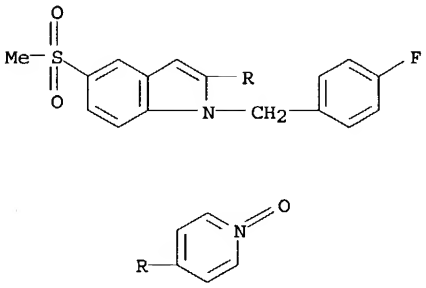
RN 251548-65-9 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



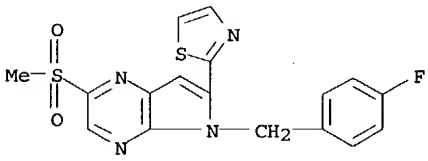


10674488

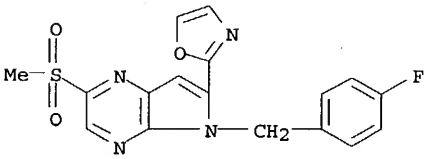
RN 251548-66-0 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-2-(1-oxido-4-pyridinyl)- (9CI) (CA INDEX NAME)



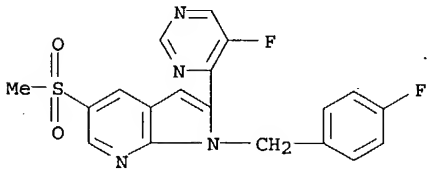
RN 251548-67-1 CAPLUS
CN 5H-Pyrrolo[2,3-b]pyrazine, 5-[(4-fluorophenyl)methyl]-2-(methylsulfonyl)-6-(2-thiazolyl)- (9CI) (CA INDEX NAME)



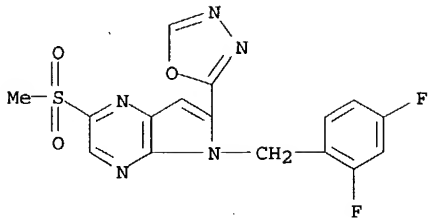
RN 251548-68-2 CAPLUS
CN 5H-Pyrrolo[2,3-b]pyrazine, 5-[(4-fluorophenyl)methyl]-2-(methylsulfonyl)-6-(2-oxazolyl)- (9CI) (CA INDEX NAME)



RN 251548-69-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(4-fluorophenyl)methyl]-2-(5-fluoro-4-pyrimidinyl)-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

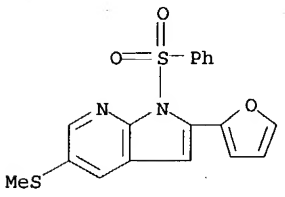


RN 251548-70-6 CAPLUS
CN 5H-Pyrrolo[2,3-b]pyrazine, 5-[(2,4-difluorophenyl)methyl]-2-(methylsulfonyl)-6-(1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)

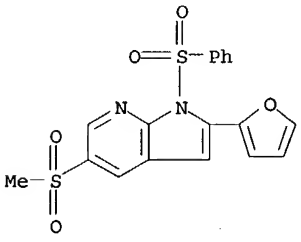


IT **251548-71-7P 251548-72-8P 251548-76-2P**
251548-77-3P 251548-79-5P 251548-80-8P
251548-82-0P 251548-83-1P 251548-85-3P
251548-86-4P 251548-88-6P 251548-89-7P
251548-99-9P 251549-00-5P 251549-02-7P
251549-04-9P 251549-05-0P 251549-06-1P
251549-08-3P 251549-09-4P 251549-10-7P
251549-11-8P 251549-12-9P 251549-20-9P
251549-21-0P 251549-22-1P 251549-25-4P
251549-26-5P 251549-27-6P 251549-28-7P
251549-30-1P 251549-51-6P 251549-52-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic indole derivs. and mono- or diazaindole derivs. as cyclooxygenase-2 (COX-2) inhibitors and anti-inflammatory agents)

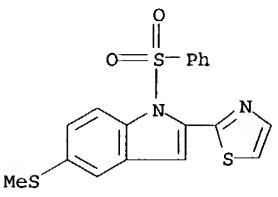
RN 251548-71-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2-furanyl)-5-(methylthio)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



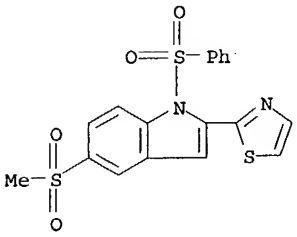
RN 251548-72-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2-furanyl)-5-(methylsulfonyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



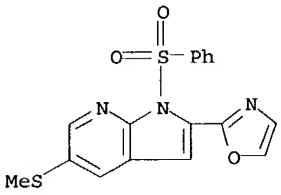
RN 251548-76-2 CAPLUS
CN 1H-Indole, 5-(methylthio)-1-(phenylsulfonyl)-2-(2-thiazolyl)- (9CI) (CA INDEX NAME)



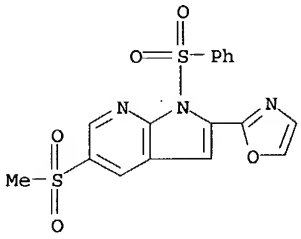
RN 251548-77-3 CAPLUS
CN 1H-Indole, 5-(methylsulfonyl)-1-(phenylsulfonyl)-2-(2-thiazolyl)- (9CI) (CA INDEX NAME)



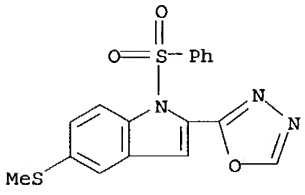
RN 251548-79-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-(methylthio)-2-(2-oxazolyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



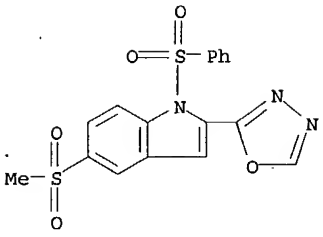
RN 251548-80-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-(methylsulfonyl)-2-(2-oxazolyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



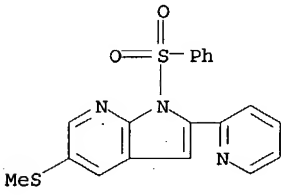
RN 251548-82-0 CAPLUS
CN 1H-Indole, 5-(methylthio)-2-(1,3,4-oxadiazol-2-yl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



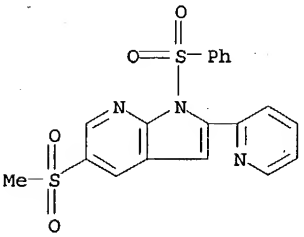
RN 251548-83-1 CAPLUS
CN 1H-Indole, 5-(methylsulfonyl)-2-(1,3,4-oxadiazol-2-yl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



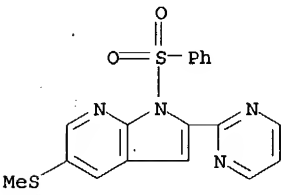
RN 251548-85-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-(methylthio)-1-(phenylsulfonyl)-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



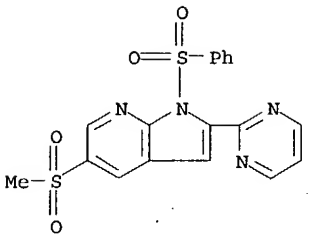
RN 251548-86-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-(methylsulfonyl)-1-(phenylsulfonyl)-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



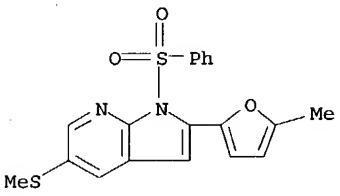
RN 251548-88-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-(methylthio)-1-(phenylsulfonyl)-2-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)



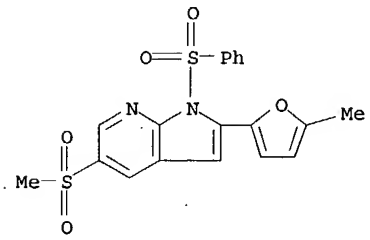
RN 251548-89-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-(methylsulfonyl)-1-(phenylsulfonyl)-2-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)



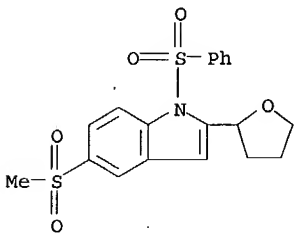
RN 251548-99-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2-(5-methyl-2-furanyl)-5-(methylthio)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



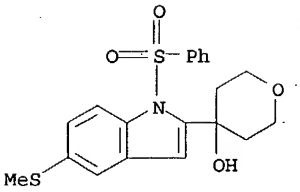
RN 251549-00-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2-(5-methyl-2-furanyl)-5-(methylsulfonyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



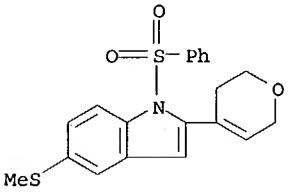
RN 251549-02-7 CAPLUS
CN 1H-Indole, 5-(methylsulfonyl)-1-(phenylsulfonyl)-2-(tetrahydro-2-furanyl)- (9CI) (CA INDEX NAME)



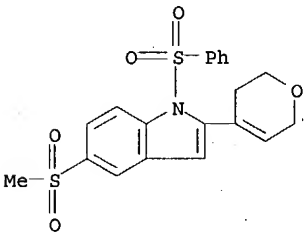
RN 251549-04-9 CAPLUS
CN 1H-Indole, 5-(methylthio)-1-(phenylsulfonyl)-2-(tetrahydro-4-hydroxy-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



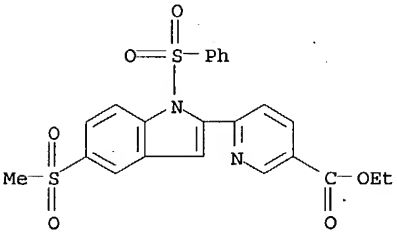
RN 251549-05-0 CAPLUS
CN 1H-Indole, 2-(3,6-dihydro-2H-pyran-4-yl)-5-(methylthio)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



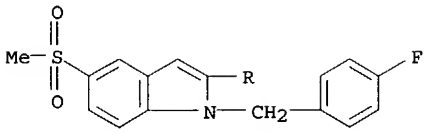
RN 251549-06-1 CAPLUS
CN 1H-Indole, 2-(3,6-dihydro-2H-pyran-4-yl)-5-(methylsulfonyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

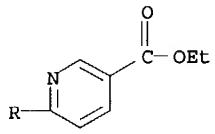


RN 251549-08-3 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-[5-(methylsulfonyl)-1-(phenylsulfonyl)-1H-indol-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

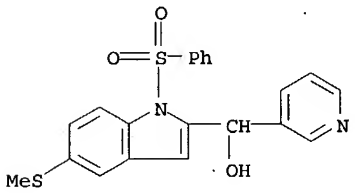


RN 251549-09-4 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-[1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-1H-indol-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

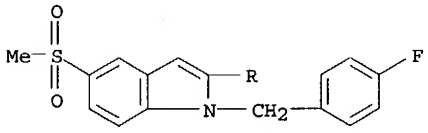


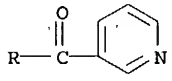


RN 251549-10-7 CAPLUS
CN 1H-Indole-2-methanol, 5-(methylthio)-1-(phenylsulfonyl)-α-3-pyridinyl- (9CI) (CA INDEX NAME)

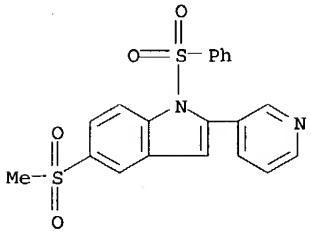


RN 251549-11-8 CAPLUS
CN Methanone, [1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-1H-indol-2-yl]-3-pyridinyl- (9CI) (CA INDEX NAME)

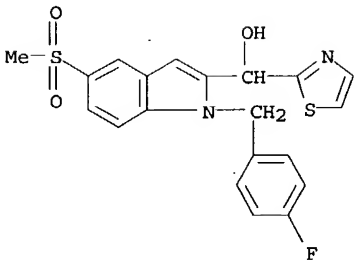




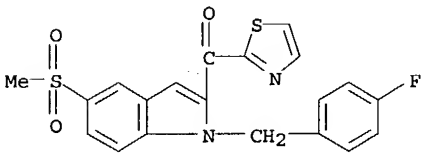
RN 251549-12-9 CAPLUS
CN 1H-Indole, 5-(methylsulfonyl)-1-(phenylsulfonyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



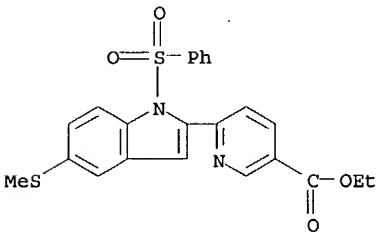
RN 251549-20-9 CAPLUS
CN 1H-Indole-2-methanol, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-α-2-thiazolyl- (9CI) (CA INDEX NAME)



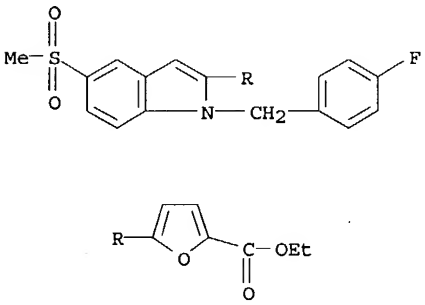
RN 251549-21-0 CAPLUS
CN Methanone, [1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-1H-indol-2-yl]-2-thiazolyl- (9CI) (CA INDEX NAME)



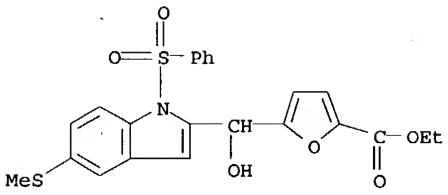
RN 251549-22-1 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-[5-(methylthio)-1-(phenylsulfonyl)-1H-indol-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)



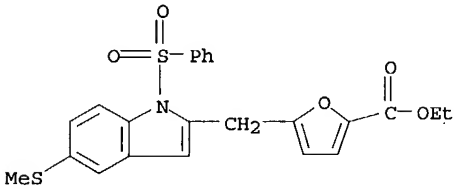
RN 251549-25-4 CAPLUS
CN 2-Furancarboxylic acid, 5-[1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-1H-indol-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)



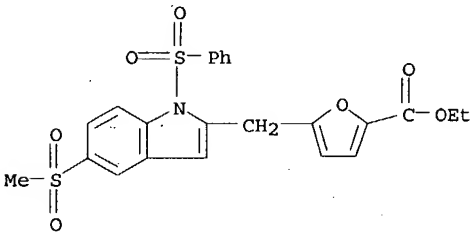
RN 251549-26-5 CAPLUS
CN 2-Furancarboxylic acid, 5-[hydroxy[5-(methylthio)-1-(phenylsulfonyl)-1H-indol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



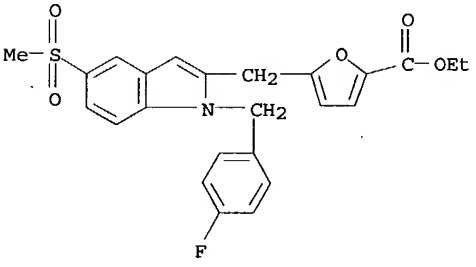
RN 251549-27-6 CAPLUS
CN 2-Furancarboxylic acid, 5-[[5-(methylthio)-1-(phenylsulfonyl)-1H-indol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



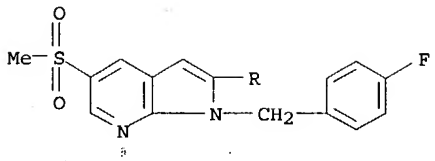
RN 251549-28-7 CAPLUS
CN 2-Furancarboxylic acid, 5-[[5-(methylsulfonyl)-1-(phenylsulfonyl)-1H-indol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

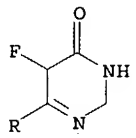


RN 251549-30-1 CAPLUS
CN 2-Furancarboxylic acid, 5-[[1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-1H-indol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

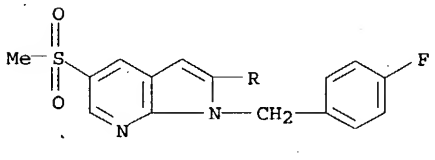


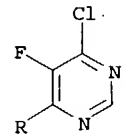
RN 251549-51-6 CAPLUS
CN 4(3H)-Pyrimidinone, 5-fluoro-6-[1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-2,5-dihydro- (9CI) (CA INDEX NAME)





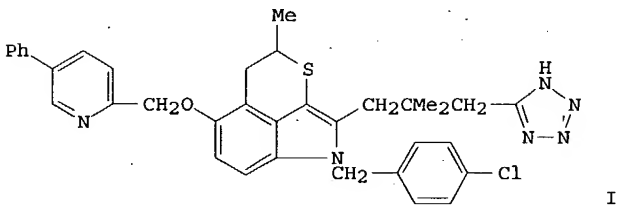
RN 251549-52-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2-(6-chloro-5-fluoro-4-pyrimidinyl)-1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)





RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:94720 CAPLUS
DN 120:94720
TI Substituted thiopyrano[2,3,4-c,d]indoles as potent, selective, and orally active inhibitors of 5-lipoxygenase. Synthesis and biological evaluation of L-691,816
AU Hutchinson, J. H.; Riendeau, D.; Brideau, C.; Chan, C.; Delorme, D.; Denis, D.; Falgueyret, J. P.; Fortin, R.; Guay, J.; et al.
CS Merck Frosst Cent. Theor. Res., Pointe Claire-Dorval, QC, H9R 4P8, Can.
SO Journal of Medicinal Chemistry (1993), 36(19), 2771-87
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



I

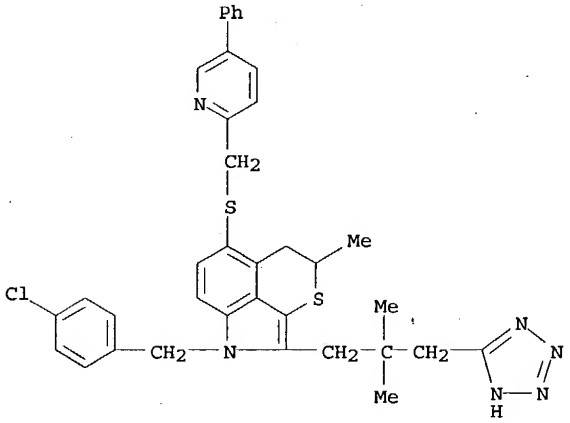
AB Thiopyrano[2,3,4-c,d]indoles are a new class of 5-lipoxygenase (5-LO) inhibitors. SAR studies have demonstrated that the thiopyran ring, the 5-phenylpyridine substituent, and an acidic functional group on a four-carbon C-2 side chain are all required for optimal inhibitor potency. In contrast, the indolic nitrogen may be substituted with a variety of

lipophilic groups. As a result of the SAR investigation, L-691,816 (I) has been identified as a potent inhibitor fo the 5-LO reaction both in vitro and in a range of in vivo models. I inhibits 5-HPETE production by both rat and human 5-LO and LTB4 synthesis in human PMN leukocytes (IC50s 16, 75, and 10 nM, resp.). The mechanism of inhibition of 5-LO activity by I appears to involve the formation of a reversible deadend complex with the enzyme and does not involve reduction of the nonheme iron of 5-LO. I is highly selective for 5-LO when compared to the inhibition of human FLAP, porcine 12-LO, and also ram seminal vesicle cyclooxygenase. In addition, I is orally active in a rat pleurisy model (inhibition of LTB4, ED50 = 1.9 mg/kg; 8 h pretreatment) as well as in the hyperreactive rat model of antigen-induced dyspnea (ED50=0.1 mg/kg; 2-h pretreatment). Excellent functional activity was also observed in both the conscious allergic monkey and sheep models of asthma. In the latter case, the functional activity observed correlated with the inhibition of urinary LTE4 excretion.

IT **150461-16-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and lipoxygenase inhibiting activity of, structure in relation to)

RN 150461-16-8 CAPLUS

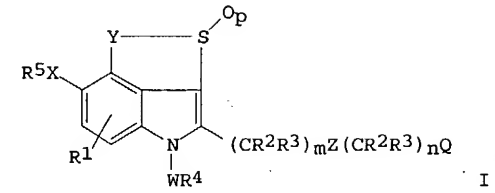
CN 1H-Thiopyrano[2,3,4-cd]indole, 1-[(4-chlorophenyl)methyl]-2-[2,2-dimethyl-3-(1H-tetrazol-5-yl)propyl]-4,5-dihydro-4-methyl-6-[[(5-phenyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



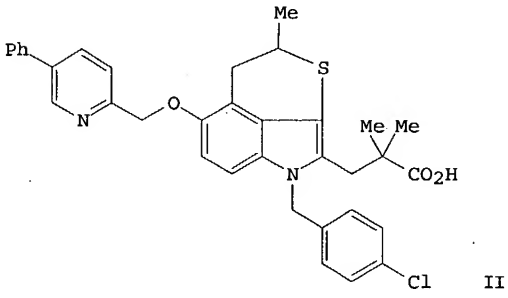
L6 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:495502 CAPLUS
DN 119:95502
TI Thiopyrano[2,3,4-c,d]indoles as inhibitors of leukotriene biosynthesis
IN Hutchinson, John H.; Girard, Yves; Fortin, Rejean; MacDonald, Dwight; Scheigetz, John; Delorme, Daniel; Therien, Michel; Hamel, Pierre
PA Merck Frosst Canada Inc., Can.
SO Eur. Pat. Appl., 116 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 518426	A1	19921216	EP 1992-201639	19920605
R: CH, DE, FR, GB, IT, LI, NL				
US 5202321	A	19930413	US 1991-714478	19910613
CA 2070953	AA	19921214	CA 1992-2070953	19920610
JP 06287192	A2	19941011	JP 1992-155112	19920615
PRAI US 1991-714478		19910613		

OS MARPAT 119:95502
GI



I



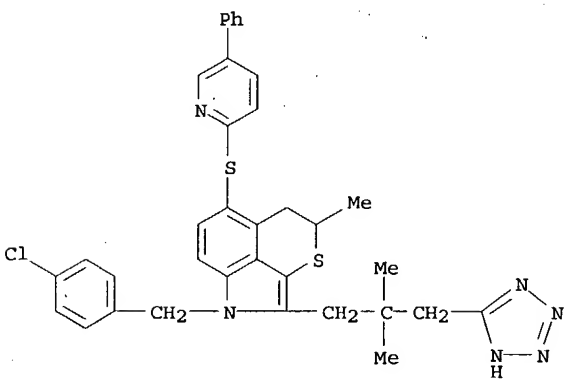
II

AB Over 200 title compds. I [R1 = H, alkyl, cycloalkyl, alkoxy, cyano, NO2, CF3, N3, N(R6)2, COR7, OR6, SR8, CO2R9, halo, etc.; R2 = H, alkyl, OH, alkoxy; or R2R2 = bond; R3 = H, alkyl; or R2R3 = O; R4 = H, (un)substituted alkyl, cycloalkyl, (phenyl)alkenyl, (un)substituted aryl; R5 = (un)substituted alkyl, cycloalkyl, (un)substituted aryl, substituted tetrahydropyridyl; R6 = H, alkyl; or NR6R6 = saturated (hetero)cyclic amino possibly containing addnl. O, S, or NR2; R7 = H, alkyl, Ph, p-MeC6H4, CF3; R8 = alkyl, Ph, p-MeC6H4, CF3; R9 = H, alkyl, PhCH2; Q = CO2R9, tetrazolyl, OH, CH2OH, CHO, CON(R6)2, N(R6)2, NHCOR7, CONHCN, etc.; W = CH2, CO, SO2 (when R4 ≠ H); X = (CH2)qU, U(CH2)q, CH:CH, CH2OCH2; Y = CH2C(R10)2, CH:CR10, CH:CHCH2, (CH2)3; Z = bond, O, S, (un)substituted NH or CONH; U = CH2, O, S; R10 = H, alkyl; m = 0-3; n = 0-3 when Z = bond; n = 1-3 when Z = others; p = 0-2; q = 0-3] were prepared and/or claimed. I are inhibitors of leukotriene biosynthesis, useful for treatment of a variety of medical conditions (no data). For example, cyclization of Me3CSCH2COCH2CMe2CO2Me with 4-MeOC6H4N(NH2)CH2C6H4Cl-4.HCl gave Me 3-[1-(4-chlorobenzyl)-3-tert-butylthio-5-methoxyindol-2-yl]-2,2-dimethylpropanoate. This underwent saponification, O-demethylation of the Me ether, reesterification with CH2N2, O-alkylation with allyl bromide, rearrangement/cyclization, further O-alkylation, and saponification, to give title compound II.

IT **147936-34-3P 150461-16-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as leukotriene biosynthesis inhibitor)

RN 147936-34-3 CAPLUS

CN 1H-Thiopyrano[2,3,4-cd]indole, 1-[(4-chlorophenyl)methyl]-2-[2,2-dimethyl-3-(1H-tetrazol-5-yl)propyl]-4,5-dihydro-4-methyl-6-[(5-phenyl-2-pyridinyl)thio]- (9CI) (CA INDEX NAME)

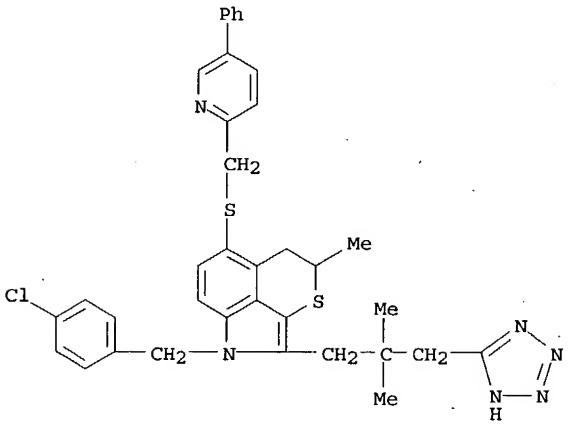


RN 150461-16-8 CAPLUS

CN 1H-Thiopyrano[2,3,4-cd]indole, 1-[(4-chlorophenyl)methyl]-2-[2,2-dimethyl-

10674488

3-(1H-tetrazol-5-yl)propyl]-4,5-dihydro-4-methyl-6-[[(5-phenyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



10674488

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L14 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:356182 CAPLUS
DN **138:348759**
TI Indolylquinolinone derivative tyrosine kinase inhibitors, preparation thereof, and therapeutic use
IN Arrington, Kenneth L.; Fraley, Mark E.; Hartman, George D.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003037252	A2	20030508	WO 2002-US34379	20021025
	WO 2003037252	A3	20040219		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-339075P P 20011030
OS MARPAT 138:348759
AB The invention provides indolylquinolinone compds. which inhibit, regulate, and/or modulate tyrosine kinase signal transduction, compns. which contain these compds., and methods of using them to treat tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth, atherosclerosis, age-related macular degeneration, diabetic retinopathy, inflammatory diseases, and the like in mammals. Preparation of selected compds. is described.

=> s l13 and cox
13654 COX
L15 1 L13 AND COX

=> s l15 not l14
L16 1 L15 NOT L14

=> d bib abs

L16 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:242278 CAPLUS
DN **138:271682**
TI Preparation of cyclic hydroxamic acids as inhibitors of matrix metalloproteinases and/or TNF-α converting enzyme for treatment of inflammatory disorders
IN Ott, Gregory; Chen, Xiao-Tao; Duan, Jingwu; Lu, Zhonghui
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 344 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

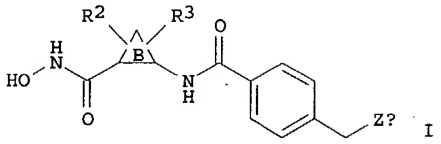
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003024899	A2	20030327	WO 2002-US29685	20020916
	WO 2003024899	A3	20031127		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

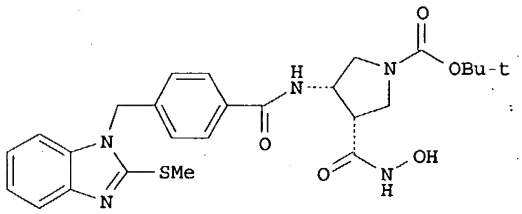
US 2003139388 A1 20030724 US 2002-244626 20020916

10674488

PRAI US 2001-322630P P 20010917
OS MARPAT 138:271682
GI



I



II

AB Title compds. I [wherein ring B = (un)substituted 4-7 membered (hetero)cyclic ring containing 0-2 O, N, NR1, or SOp atoms and 0-3 carbonyl groups; R1 and R2 = independently Q, alk(en/yn)ylene-Q, or (un)substituted alkylene-Q interrupted by O, NRa, CO, CO2, CONRa, NRaCO, NRaCO2, NRaCONRa, SOp, NRaSO2, or SO2NRa; or R1 = (un)substituted alkylene-Q interrupted by OCO, OCO2, or OCONRa; Q = H or (un)substituted (hetero)cyclyl; R3 = Q1, Cl, F, alk(en/yn)ylene-Q1, or (un)substituted alkylene-Q1 interrupted by O, NR1, NRaCO, CONRa, CO, CO2, SOp, or SO2NRa; Q1 = H or (un)substituted Ph, naphthyl, or heterocyclyl; Za = (un)substituted benzimidazolyl, indolyl, imidazopyridinyl, pyrazolylpyridinyl, benzofuranyl, benzothiazinyl, quinolinyl, etc.; Ra = independently H, alkyl, Ph, or benzyl; p = 0-2; or stereoisomers or pharmaceutically acceptable salts thereof] were prepared as inhibitors of matrix metalloproteinases (MMP), TNF-a converting enzyme (TACE), aggrecanase, or a combination thereof. For example, reaction of benzyl Me maleate with paraformaldehyde and glycine gave benzyl Me (cis)-3,4-pyrrolidinedicarboxlyate (100%). BOC-protection (64%), debenzylation (96%), resolution of the (3S,4S)-isomer with (S)-α-methylbenzylamine, conversion to the carbamate with DPPA and PhCH2OH (76%), and Pd catalyzed hydrogenation (100%) provided Me (3S,4S)-4-amino-1-(tert-butoxycarbonyl)-3-pyrrolidinecarboxylate. Coupling of the amine with 4-[(2-methylthio-1H-benzimidazol-1-yl)methyl]benzoic acid (preparation given) afforded the amide (99%), which was treated with NH2OH•HCl/MeONa to give the hydroxamic acid (3S,4S)-II (33%). A number of the compds. of the invention inhibited MMP-1, 2, 3, 7, 8, 9, 10, 12, 13, 14, 15, and/or 16 with Ki values of ≤ 10 μM. Thus, I are useful for the treatment of a wide variety of inflammatory disorders (no data).

=> s pyrrolo?
L17 12795 PYRROLO?

=> s l13 and l17
L18 4 L13 AND L17

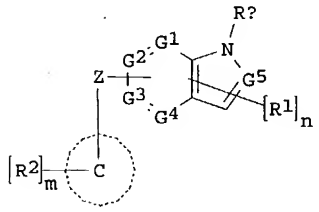
=> d 1-4 bib abs

L18 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:157760 CAPLUS
DN **136:200111**
TI Preparation of antiangiogenic indoles or azaindoles
IN Hennequin, Laurent Francois Andre
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002016348	A1	20020228	WO 2001-GB3585	20010808

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001077621 A5 20020304 AU 2001-77621 20010808
PRAI EP 2000-402256 A 20000809
WO 2001-GB3585 W 20010808
OS MARPAT 136:200111
GI



I

AB The title compds. [I; ring C = 5-6 membered heteroarom. ring containing at least one N atom and optionally containing a further 1-2 heteroatoms, selected from O, S and N; either any one of G1-G5 = N and the other four = CH, or all G1-G5 = CH; Z = O, NH, S, CH2, or a direct bond; Z is linked to any one of G1-G4; n = 0-5; any of the substituents R1 may be attached at any free carbon atom of the indole, azaindole or indazole group; m = 0-4; Rb = H, alkyl, alkoxyalkyl, etc.; R1 = H, oxo, OH, etc.; R2 = H, OH, halo, etc.], useful in the manufacture of a medicament for the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals, were prepared and formulated. Thus, reacting 5-hydroxy-2-methylindole with 2-chloro-5-trifluoromethylpyridine in the presence of NaH in DMF afforded 49% 2-(2-methylindol-5-yloxy)-5-trifluoromethylpyridine. The compds. I inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis.
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:122993 CAPLUS
DN **136:167381**
TI Preparation of cinnoline compounds having antiangiogenic and/or vascular permeability reducing effect
IN Hennequin, Laurent Francois Andre
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002012228	A1	20020214	WO 2001-GB3533	20010807

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001076521	A5	20020218	AU 2001-76521	20010807
EP 1309587	A1	20030514	EP 2001-954175	20010807

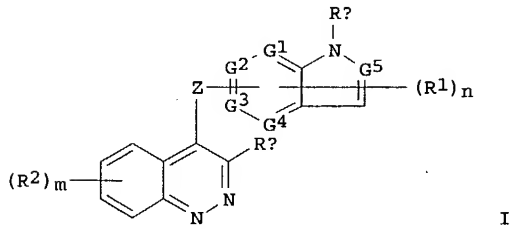
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR 2001013057	A	20030708	BR 2001-13057	20010807

10674488

	JP 2004505966	T2	20040226	JP 2002-518203	20010807
	US 2003212055	A1	20031113	US 2003-333592	20030122
	NO 2003000624	A	20030407	NO 2003-624	20030207
PRAI	EP 2000-402255	A	20000809		
	WO 2001-GB3533	W	20010807		

OS MARPAT 136:167381
GI



I

AB The invention relates to compds. of the formula [I; either any one of G1, G2, G3, G4 and G5 is nitrogen and the other four are CH, or G1, G2, G3, G4 and G5 are all CH; Z is O, NH, S, CH2 or a direct bond; Z is linked to any one of G1, G2, G3 and G4 which is a free carbon atom; n is an integer from 0 to 5; any of the substituents R1 may be attached at any free carbon atom of the indole, azaindole or indazole group, such free carbon atoms may be G1, G2, G3, G4 or G5 or may be at the 3-position of the indole, azaindole or indazole group; m = an integer of 0 to 3; Ra = H; Rb = H, C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, amino-C1-4 alkyl, C1-3 alkylamino-C1-4 alkyl, di(C1-3 alkyl)amino-C1-4 alkyl, C2-5 alkenylamino-C1-4 alkyl, C2-5 alkynylamino-C1-4 alkyl, or -C1-5 alkyl(ring A) (wherein ring A = optionally substituted azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholino, or thiomorpholino); R1 = H, oxo, hydroxy, halogeno, C1-4 alkyl, C1-4 alkoxy, C1-4 alkoxy-C1-4 alkyl, amino-C1-4 alkyl, C1-3 alkylamino-C1-4 alkyl, di(C1-3 alkyl)amino-C1-4 alkyl, -C1-5alkyl-(ring B) (wherein ring B = azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, N-methylpiperazinyl, N-ethylpiperazinyl, morpholino, or thiomorpholino); R2 = H, OH, halogeno, cyano, NO2, CF3, C1-3 alkyl, C1-3 alkoxy, C1-3 alkylsulfanyl, NR3R4 (wherein R3, R4 = H or C1-3alkyl), etc.] and salts thereof, processes for the preparation of such compds. Also disclosed are pharmaceutical compns. containing a compound of formula I or a pharmaceutically acceptable salt thereof as active ingredient and the use of a compound of formula I in the manufacture of medicament for the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals. The compds. of formula I and the pharmaceutically acceptable salts thereof inhibit the effects of vascular endothelial growth factor (VEGF), a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis (no data). Thus, a suspension of 4-chloro-6-methoxy-7-[3-(pyrrolidin-1-yl)propoxy]cinnoline 60, 4-fluoro-5-hydroxy-2-methylindole 46, and cesium carbonate 121 mg in DMA (2 mL) was heated at 100° for 2 h to give 4-(4-fluoro-2-methylindol-5-yloxy)-6-methoxy-7-[3-(pyrrolidin-1-yl)propoxy]cinnoline (32 mg, 38%).

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:122992 CAPLUS
DN **136:167380**
TI Preparation of phthalazines and thienopyrimidines as vascular endothelial growth factor inhibitors.
IN Hennequin, Laurent Francois Andre
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

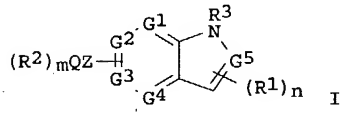
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002012227	A2	20020214	WO 2001-GB3561	20010808
	WO 2002012227	A3	20020801		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,

RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	AU 2001079938	A5	20020218	AU 2001-79938	20010808
	EP 1311500	A2	20030521	EP 2001-958210	20010808
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001013078	A	20030701	BR 2001-13078	20010808
	JP 2004505965	T2	20040226	JP 2002-518202	20010808
	US 2003207878	A1	20031106	US 2003-343236	20030130
	NO 2003000628	A	20030408	NO 2003-628	20030207
PRAI	EP 2000-402257	A	20000809		
	WO 2001-GB3561	W	20010808		

OS MARPAT 136:167380
GI



I

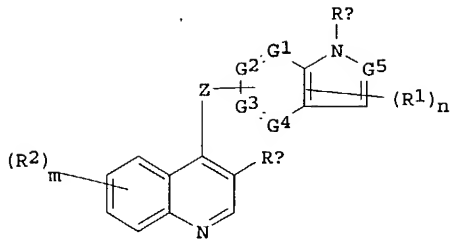
AB Title compds. [I; Q = 9-10 membered bicyclic heteroaryl containing ≥1 N atom in the ring attached to Z and optionally containing a further 1-3 O, S, N, with the proviso that Q is not a quinazoline, quinoline or cinnoline ring; either any 1 of G1-G5 = N and the other 4 = CH, or G1-G5 all = CH; Z = O, NH, S; m = 0-2; n = 0-5; R3 = H, alkyl, alkoxyalkyl, aminoalkyl, alkenylaminoalkyl, etc.; R1 = H, OH, halo, alkyl, alkoxy, aminoalkyl, etc.; R2 = H , OH , halo, cyano, NO2, CF3, alkyl, alkoxy, alkylsulfanyl, NR3R4, etc.; R3, R4 = H, alkyl], were prepared as angiogenesis inhibitors and for reducing vascular permeability (no data). Thus, 1-chloro-4-(4-pyridylmethyl)phthalazine, 4-fluoro-5-hydroxyindole (preparation given), and Cs2CO3 in DMF were heated at 95° for 2 h to give 22% 1-(4-fluoroindol-5-yloxy)-4-(4-pyridylmethyl)phthalazine.

L18 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:122991 CAPLUS
DN **136:183717**
TI Preparation of quinoline derivatives having VEGF inhibiting activity
IN Hennequin, Laurent Francois Andre
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002012226	A1	20020214	WO 2001-GB3553	20010808
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001076536	A5	20020218	AU 2001-76536	20010808
	EP 1313726	A1	20030528	EP 2001-954192	20010808
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001013056	A	20030708	BR 2001-13056	20010808
	JP 2004505964	T2	20040226	JP 2002-518201	20010808
	US 2003199491	A1	20031023	US 2003-332274	20030107
	NO 2003000625	A	20030207	NO 2003-625	20030207
PRAI	EP 2000-402254	A	20000809		
	WO 2001-GB3553	W	20010808		

OS MARPAT 136:183717
GI

10674488



I

AB The invention relates to I (e.g. 6-cyano-7-[3-(1,1-dioxothiomorpholino)propoxy]-4-(indol-5-ylamino)quinoline hydrochloride (1)) wherein: either any one of G1, G2, G3, G4 and G5 is N and the other four are -CH-, or G1, G2, G3, G4 and G5 are all -CH-; Z is -O-, -NH-, -S-, -CH2- or a direct bond; Z is linked to any one of G1, G2, G3 and G4; n is an integer from 0 to 5; m is an integer from 0 to 3; Ra represents H or fluoro; Rb, R1 and R2 are defined herein and salt thereof, process for the preparation of such compds., pharmaceutical compns. containing I or a pharmaceutically acceptable salt thereof as active ingredient and the use of I in the manufacture of a medicament for the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals. I and the pharmaceutically acceptable salts thereof inhibit the effects of VEGF, a property of value in the treatment of a number of diseases states including cancer and rheumatoid arthritis. Thirty-five example prepns. are included. For example, a solution of 4-chloro-6-cyano-7-[3-(1,1-dioxothiomorpholino)propoxy]quinoline (0.21 mmol) and 5-aminoindole (0.25 mmol) in 2-pentanol (2.5 mL) containing 6.2 N HCl in isopropanol (40μl) was heated at 120 °C for 3 h; after cooling, the solid was collected by filtration, washed with isopropanol followed by ether and dried under vacuum to give 1 (90 %). Pharmacol. test procedures are described but test results for the claimed compds. are not given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 15:38:04 ON 20 MAY 2004)

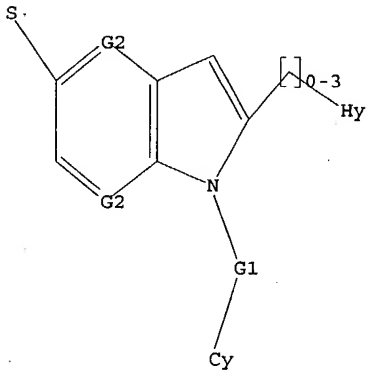
FILE 'REGISTRY' ENTERED AT 15:38:29 ON 20 MAY 2004
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 STRUCTURE UPLOADED
L4 1 S L3
L5 76 S L3 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:41:05 ON 20 MAY 2004
L6 4 S L5

FILE 'MARPAT' ENTERED AT 15:42:28 ON 20 MAY 2004
L7 2 S L5
L8 78 S L5 SSS FULL
L9 76 S L8/COMPLETE
L10 74 S L9 NOT L6
L11 0 S L10 AND CYCLOOXYGENASE?
L12 0 S L10 AND COX

FILE 'CAPLUS' ENTERED AT 15:45:52 ON 20 MAY 2004
L13 74 S L10
L14 1 S L13 AND CYCLOOXYGENASE
L15 1 S L13 AND COX
L16 1 S L15 NOT L14
L17 12795 S PYRROLO?
L18 4 S L13 AND L17
L19 70 S L13 NOT L18
L20 75 S L9 NOT L14
L21 69 S L19 NOT L14
L22 68 S L21 NOT L15
L23 31 S L22 AND INFLAMMA?
L24 8 S L23 AND PYRID?

=> d l3
L3 HAS NO ANSWERS
L3 STR



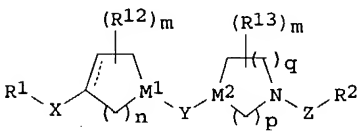
G1 C,S
G2 C,N

10674488

L24 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:2876 CAPLUS
DN **140:59522**
TI Preparation of indole derivatives as histamine H3 antagonists
IN Aslanian, Robert G.; Berlin, Michael Y.; Mangiaracina, Pietro; McCormick, Kevin D.; Mutahi, Mwangi W.; Rosenblum, Stuart B.
PA Schering Corporation, USA
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004000831	A1	20031231	WO 2003-US19619	20030620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004019099	A1	20040129	US 2003-600674	20030620
PRAI US 2002-390987P	P	20020624		

OS MARPAT 140:59522
GI



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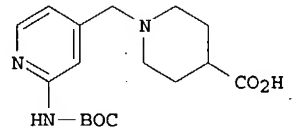
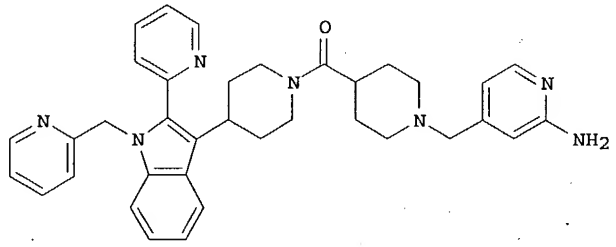


II



III

AB Title compds. I [wherein R1 = (un)substituted indolyl or an aza derivative thereof; R2 = (un)substituted (hetero)aryl, quinolyl, heterocycloalkyl; R12, R13 = alkyl, hydroxyl, alkoxy, etc., or R13 = O; m = independently 0-3; n = 1-3; p = 1-3; q = 1-5; X = a bond or alkylene; Y = CO, CS, COCH2, etc.; Z = a bond, alkylene, alkenylene, CO, etc.; M1 = CH or N; M2 = CR3 or N; and salts or solvates thereof] were prepared as histamine H3 antagonists in treatment of H3 receptor related diseases. For example, reaction of II with 3-(4-piperidinyl)-2-(2-**pyridinyl**)indole, followed by deprotection and substitution with 2-chloromethylpyridine gave III, which showed 1.50 nM binding constant with histamine H3. Thus, I and their pharmaceutical compds., as well as in combination with H1 receptor antagonists, are useful as histamine H3 antagonists for the treatment of **inflammatory** diseases, allergic conditions and central nervous system disorders (no data).
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:551516 CAPLUS
DN **139:117268**
TI Preparation of deazapurines for use in pharmaceutical compositions for the treatment of **inflammatory**, autoimmune and proliferative diseases
IN Daun, Jane; Davis, Heather A.; Gusovsky, Fabian; Hishinuma, Ieharu; Jiang, Yimin; Kaneko, Toshihiko; Kikuchi, Kouichi; Kobayashi, Seiichi;

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Lescarbeau, Andre; Li, Xiang-Li; Muramoto, Kenzo; Ohi, Norihito; Pesant, Marc; Seletsky, Boris M.; Soejima, Motohiko; Yao, Ye; Yokohama, Hiromitsu; Zhao, Janet Y.; Zheng, Wanjun; Tremblay, Lynda

PA Eisai Co., Ltd., Japan

SO PCT Int. Appl., 215 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057696	A1	20030717	WO 2003-US366	20030107

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-346598P P 20020107

OS MARPAT 139:117268

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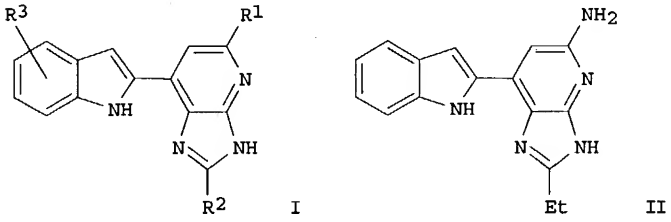

I II

AB Indolyldeazapurines, such as I [R1 = H, NH2, alkylamino, acylamino, etc.; R2 = H, amino, alkoxy, alkyl, etc.; R3 = H, CN, halogen, heteroaryl, amino, carbamoyl, etc.], were prepared for therapeutic use as inhibitors of cell adhesion, mol. expression and **inflammatory** cytokine signal transduction. These deazapurines are useful in the treatment of **inflammatory**, autoimmune, proliferative, central nervous system and cardiovascular diseases, such as rheumatoid arthritis, ulcerative colitis, multiple sclerosis, asthma, psoriasis, allograft rejection/graft vs. host disease, idiopathic thrombocytopenia, allergic rhinitis, atopic dermatitis, systemic lupus, glomerulonephritis, diabetes, ulcerative colitis/Crohn's disease, erythematosus, eczema, urticaria, myasthenia gravis, idiopathic thrombocytopenia purpura and cancer. Thus, deazapurine II was prepared via a coupling reaction of the corresponding halodeazapurine with 2-(tributylstannyl)-1H-indole-1-carboxylic acid 1,1-dimethylethyl ester. The prepared deazapurines were assayed for cellular cytokine inhibition using human umbilical vein endothelial cells (HUVEC).

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:817246 CAPLUS

DN **135:357843**

TI Preparation of 2-Aryl indole derivatives for use as tachykinin receptor antagonists

IN Dinnell, Kevin; Elliott, Jason Matthew; Hollingworth, Gregory John; Ridgill, Mark Peter; Shaw, Duncan Edward

PA UK

SO U.S. Pat. Appl. Publ., 37 pp.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001039286	A1	20011108	US 2001-782422	20010213

PRAI GB 2000-3397 A 20000214

OS MARPAT 135:357843

10674488

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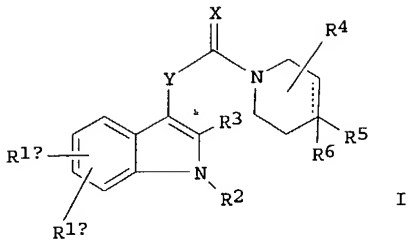


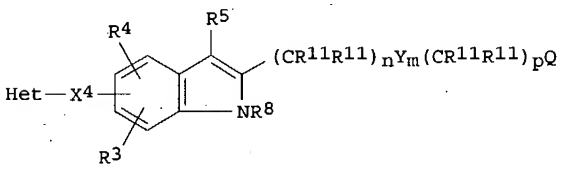
I

AB 2-Aryl indole derivs. I (wherein R1a, R1b, and R2 = a variety of substituents; R3 = optionally substituted Ph, biphenyl or naphthyl or heteroaryl group; R4 = H, (C1-6)alkyl, carbonyl (=O), (CH2)pphenyl or a (C1-2)alkylene bridge across the piperidine ring; R5 and R6 = variety of substituents; or R5 and R6 together are linked so as to form an optionally substituted 5-or 6-membered ring; X = O or S, two H atoms, boxHNH or boxHN(C1-6 alkyl); Y = straight or branched (C1-4)alkylene, (C2-4)alkenylene or (C2-4)alkynylene chain; the dotted line represents an optional double bond; m = 0,1,2,3,4; n = 1,2,3,4; and p = 1,2,3,4), or a pharmaceutically acceptable salt thereof, were prepared, and their use as tachykinin receptor antagonists evaluated. Thus, diisopropylethylamine and bromoacetonitrile were added to a loaded resin (synthetic preparation given) in N-methylpyrrolidinone, to which was added a solution of 6-(methylsulfonyl)spiro-[2H-1-benzopyran-2,4'-piperidin]-4(3H)-one in THF to give 1'-{3-[5-chloro-2-(4-chlorophenyl)-1H-indol-3-yl]-1-oxopropyl}-6-(methylsulfonyl)spiro(2H-1-benzopyran-2,4'-piperidin)-4(3H)-one. The compds. are of particular use in the treatment or prevention of depression, anxiety, pain, **inflammation**, migraine, emesis or postherpetic neuralgia. Biol. data are given.

L24 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:420803 CAPLUS
DN **123:55699**
TI (Azaarylmethoxy)indoles as inhibitors of leukotriene biosynthesis
IN Frenette, Richard; Gillard, John W.; Hutchinson, John H.; Prasit, Petpiboon; Therien, Michel
PA Merck Frosst Canada, Inc., Can.
SO U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 768,140, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5389650	A	19950214	US 1992-951635	19920925
	CA 2079373	C	20030805	CA 1992-2079373	19920929
	JP 07002840	A2	19950106	JP 1992-286644	19920930
PRAI	US 1991-768140	B2	19910930		

OS MARPAT 123:55699
GI



I

AB Compds. having the formula I wherein: Het is ArR1R2 ; Ar is 2-, 3- or 4-**pyridyl**; R1, R2, R3, and R4 are each hydrogen; R5 is X2R7; R6 and R9 are independently alkyl, alkenyl, (CH2)uPh(R10)2 or (CH2)uTh(R10)2 (Th = thienyl group); R7 is R6; R8 is R9; R10 is hydrogen or halogen; each R11 is independently hydrogen or lower alkyl, or two R11's on same carbon atom

are joined to form a cycloalkyl ring of 3 to 6 carbon atoms; R12 is hydrogen, lower alkyl or CH2R21; R21 is Ph substituted with 1 or 2 R22 groups; R22 is hydrogen, halogen, lower alkyl, lower alkoxy, lower alkylthio, lower alkylsulfonyl, lower alkylcarbonyl, CF3, CN, NO2 or N3; m is 0; n is 1 to 3; p is 0 to 3 when m is 0; u is 0 in R6 and 1 in R9; X2 is CR11R11 or S; X4 is CH2Y1; Y1 is O; Q is CO2R12; or a pharmaceutically acceptable salt thereof, are inhibitors of leukotriene biosynthesis (no data). These compds. are useful as anti-asthmatic, anti-allergic, anti-**inflammatory**, and cytoprotective agents. They are also useful in treating diarrhea, hypertension, angina, platelet aggregation, cerebral spasm, premature labor, spontaneous abortion, dysmenorrhea, and migraine. Pharmaceutical formulations were given. Thus, e.g., 3-[1-(4-chlorobenzyl)-3-(t-butylthio)-5-methoxyindol-2-yl]-2,2-dimethylpropanoic acid Me ester was demethylated to 3-[1-(4-chlorobenzyl)-3-(t-butylthio)-5-hydroxyindol-2-yl]-2,2-dimethylpropanoic acid; the latter was converted to its allyl ester and reacted with 2-picolyl chloride to afford 3-[1-(4-chlorobenzyl)-3-(t-butylthio)-5-(**pyridin**-2-ylmethyoxy)indol-2-yl]-2,2-dimethylpropanoic acid allyl ester; saponification of the latter afforded title compound 3-[1-(4-chlorobenzyl)-3-(t-butylthio)-5-(**pyridin**-2-ylmethyoxy)indol-2-yl]-2,2-dimethylpropanoic acid.

L24 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:408389 CAPLUS
DN **123:143926**
TI Aryl-1H-thiopyrano[2,3,4-cd]indoles as inhibitors of leukotriene biosynthesis
IN Girard, Yves; Hutchinson, John H.; Therien, Michel; Delorme, Daniel
PA Merck Frosst Canada Inc., Can.
SO PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9411378	A1	19940526	WO 1993-CA478	19931109
	W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5314900	A	19940524	US 1992-978834	19921119
	AU 9454151	A1	19940608	AU 1994-54151	19931109
PRAI	US 1992-978834		19921119		
	WO 1993-CA478		19931109		

OS MARPAT 123:143926
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I (R1-R4 = H, alkyl, etc.; R5 = aryl, alkyl, etc.; R21, R22 = H, alkyl, etc.; A = phenylene, arylene; Q = carboxy, HO, amido, etc.; X = alkylene, etc.; Y = bond, O, S, amido, etc.; Z = CO, sulfonyl, bond, etc.; m, n, p = 0-3) were disclosed. I are useful as antiasthmatics, antiallergic, antiinflammatory, and cytoprotective agents (no claims). They are also useful in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, psoriasis, uveitis, and allograft rejection and in preventing the formation of atherosclerotic plaques (no claims). An example compound, 3-[[[1-(4-chlorobenzyl)-4-methyl-6-(5-phenyl-2-**pyridinyl**)-4,5-dihydro-1H-thiopyrano-2,3,4-cd]indol-2-yl]methoxy]-2-naphthalenecarbocylic acid (II) was prepared

L24 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:362334 CAPLUS
DN **122:133159**
TI Preparation of furo[3,2-b]**pyridines** and thieno[3,2-b]**pyridines** as inhibitors of leukotriene biosynthesis
IN Leger, Serge; Hutchinson, John H.
PA Merck Frosst Canada Inc., Can.
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

10674488

PI	WO 9422869	A1	19941013	WO 1994-CA134	19940310
	W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5374635	A	19941220	US 1993-37862	19930329
	CA 2156262	AA	19941013	CA 1994-2156262	19940310
	AU 9461787	A1	19941024	AU 1994-61787	19940310
	AU 683451	B2	19971113		
	EP 691972	A1	19960117	EP 1994-908907	19940310
	EP 691972	B1	19980701		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 08510723	T2	19961112	JP 1994-521482	19940310
	AT 167865	E	19980715	AT 1994-908907	19940310
	ES 2119176	T3	19981001	ES 1994-908907	19940310
PRAI	US 1993-37862		19930329		
	WO 1994-CA134		19940310		

OS MARPAT 122:133159

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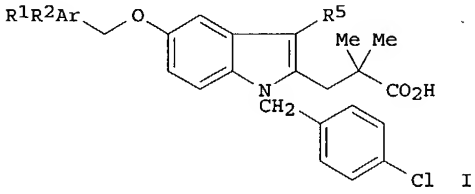


I

AB Title compds. I (R1, R2 = H, Cl; R5 = H, alky, alkyl-CO; Ar = furo[3,2-b] **pyridin**-5-yl, thieno[3,2-b]**pyridin**-5-yl, thieno[3,2-d]thiazol-2-yl) or a salt thereof, are prepared These compds. ar useful as anti-asthmatic, anti-allergic, anti-**inflammatory**, and cytoprotective agents. They are also useful in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis, and allograft rejection and in preventing the formation of atherosclerotic plaques. 2-Iodo-6-methylpyridine-3-ol, CuI, trimethylsilylacetylene and (Ph3P)2PdCl2 in Et3N were refluxed for 20 h to give 2-(trimethylsislyl)-6-methylfuro[3,2-b]**pyridine** which in 4 steps was converted to I (R1 = R2 = R5 = H, Ar = furo[3,2-b]**pyridin**-5-yl) converted to the Na salt. Biol. activity was demonstrated. Pharmaceutical formulation comprising I are given.

L24 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:457538 CAPLUS

DN **121:57538**

TI Aryl thiopyrano(4,3,2-cd)indoles as inhibitors of leukotriene biosynthesis

IN Chung, John Y. L.; Reamer, Robert A.; Girard, Yves; Hamel, Pierre

PA Merck and Co., Inc., USA; Merck Frosst Canada, Inc.

SO Can. Pat. Appl., 60 pp.
CODEN: CPXXEB

DT Patent

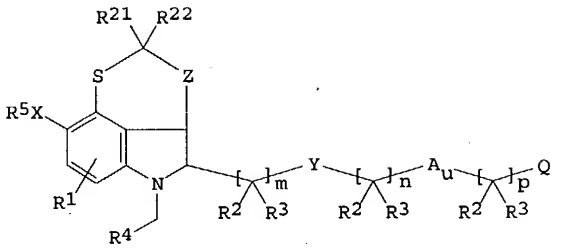
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2099060	AA	19931230	CA 1993-2099060	19930623
	US 5314898	A	19940524	US 1992-906062	19920629
PRAI	US 1992-906062		19920629		

OS MARPAT 121:57538

GI



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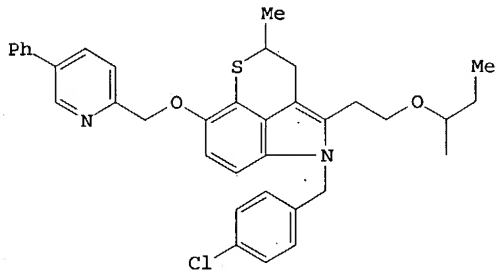

II

AB (Aryl)thiopyrano[4.3.2-cd]indoles as inhibitors of leukotriene biosynthesis. The title compds. I (R1-R4 = H, alkyl, etc.; R5 = aryl, alkyl; R21, R22 = substituent; Q = carboxy, formyl, amido, etc.; X = alkanediyl, etc.; Y = bond, S, O, etc.; Z = alkanediyl, methylene, CO) are inhibitors of the 5-lipoxygenase enzyme and inhibitors of leukotriene biosynthesis. These compds. are useful as antiasthmatic, antiallergic, antiinflammatory, and cytoprotective agents. They are also useful in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, psoriasis, uveitis, and allograft rejection and in preventing the formation of atherosclerotic plaques. I are derivs. of chuangxinmycin. An example compound, 2-[2-[5-(4-chlorobenyzyl)-2-methyl-8-[(5-phenyl-2-**pyridinyl**)methoxy]-3,5-dihydro-2H-thiopyrano[4,3,2-cd]indol-4-yl]ethoxy]butanoic acid (II) was prepared

L24 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:8477 CAPLUS
DN **120:8477**
TI [(Azaheteroaryl)alkoxy]indoles as inhibitors of leukotriene biosynthesis
IN Frenette, Richard
PA Merck Frosst Canada Inc., Can.
SO PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9316069	A1	19930819	WO 1993-CA59	19930212
	W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	AU 9334886	A1	19930903	AU 1993-34886	19930212
PRAI	US 1992-834918		19920213		
	WO 1993-CA59		19930212		

OS MARPAT 120:8477
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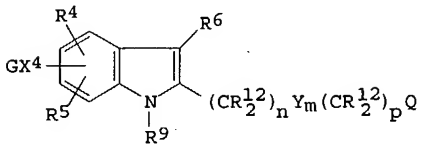

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AB The title compds. I [G = (un)substituted aromatic 5- or 6-membered ring containing 1-3 N atoms or N-oxides; Q = (un)substituted CO2H, (un)substituted CONH2, 1H-tetrazol-5-yl, 2H-tetrazol-5-yl, etc.; R4,R5 = H, halogen, perhalo lower alkenyl, lower alkyl, lower alkenyl, lower alkynyl, CN, NO2, N3, etc.; R6 = H, Me, CF3, CHO, etc.; R9 = H, X3R10; R10 = alkyl, alkenyl, Ph-substituted alkyl, etc.; X3 = CO, CR122, SO2, direct bond; R12 = H, lower alkyl; CR12R12 = C3-6 cycloalkyl; X4 = (un)substituted alkenyl, etc.; Y = O, (un)substituted NH, CO, CR122, S, SO, SO2; m = 0, 1; n = 0, 3], useful as antiasthmatics (no data), antiallergics (no data), antiinflammatory agents (no data), and cytoprotective agents (no data), are prepared Thus, 3-[N-(p-chlorobenzyl)-3-(tert-butylthio)-5-[1-(pyridin-2-yl)-ethoxy]indol-2-yl]-2,2-dimethylpropanoic acid Na salt was prepared from 2-acetylpyridine in 3 steps.